〔Tetrahedron Lett., **26**, 2355 (1985)〕

Synthesis of Phidolopin, 7-(4-Hydroxy-3-nitrobenzyl)-1, 3-dimethylxanthine from the Briyozoan *Phidolopora Pacifica*. KOSAKU HIROTA*, KEIKO KUBO, YUKIO KITADE, YOSHIFUMI MAKI

Phidolopin, 7-(4-hydroxy-3-nitrobenzyl)-1,3-dimethylxanthine recently isolated from a marine organism, shows antifungal and antialgal activities. A total synthesis of phidolopin was accomplished. Thus, 2-nitro-*p*-cresol was treated with $MeOCH_2Cl$ to afford a *O*-protected cresol (1). Bromination of (1) with NBS in the presence of *α,α'*-azobis-*iso*-butyronitrile gave the corresponding benzyl bromide (2). Theophylline was alkylated with the benzyl bromide (2) followed by the deprotection of a methoxymethyl group under acidic conditions resulted in the formation of phidolopin, which was identical with natural phidolopin. Its 9-regioisomer was also synthesized.

〔J. Heterocyclic Chem., **22**, 345 (1985)〕

Pyrimidines. 52. Synthesis of Pyrido〔2, 3-*d*〕pyrimidine-2, 4-diones and Pyrido〔2, 3-*d*: 6, 5-*d'*〕dipyrimidine-2, 4, 6, 8-tetrones. KOSAKU HIROTA*, YUKIO KITADE, SHIGEO SENDA

Reactivities of 5-dimethylaminomethylene-6-imino-1,3-dimethyluracil hydrochloride (1) toward a variety of active methylene compounds were investigated. Treatment of (1) with malononitril, cyanoacetamide, ethyl cyanoacetate, acetylacetone, and diethyl malonate in the presence of triethylamine gave the corresponding pyrido〔2,3-*d*〕pyrimidines. Reaction of (1) with barbituric acids and 2-thiobarbituric acid resulted in the fromation of pyrido〔2,3-*d*:6,5-*d'*〕dipyrimidine-2,4,6,8-tetrone derivatives, which were also prepared by the reaction of 6-amino-1,3-dimethyluracil with barbituric acids.

〔J. Chem. Soc., Perkin Trans. 1, **1985**, 1137〕

Pyrimidines. Part 53. Novel Ring Transformation induced by the Substituent Effect of the Phenyl Group. Reaction of 5-Bromo-6-methyl-1-phenyluracil Derivatives with Amines and Hydrazine to give Hydantoins and Pyrazolones. KOSAKU HIROTA*, KAZUO BANNO, YOSHIHIRO YAMADA, SHIGEO SENDA

Reaction of 5-bromo-6-methyluracil derivatives possessing a phenyl or *p*-substituted phenyl group at the 1-position of the uracil ring, with methylamine and hydrazine hydrate causes novel ring transformations to give 1-arylhydantoins and 4-ureidopyrazol-3-ones, respectively. The latter conversion into the pyrazolone is a double transformation *via* a hydantoin intermediate. Reaction mechanisms for the ring transformations are discussed.